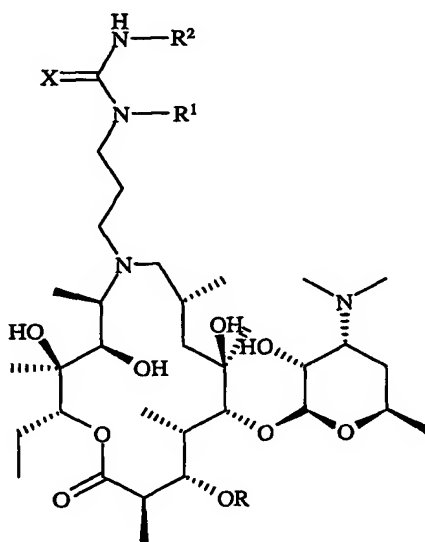


## CLAIMS

1. N"-Substituted 9a-N-(N'-carbamoyl- $\gamma$ -aminopropyl), 9a-N-(N'-thiocarbamoyl- $\gamma$ -aminopropyl), 9a-N-[N'-( $\beta$ -cyanoethyl)-N'-carbamoyl- $\gamma$ -aminopropyl] and -9a-N-[N'-( $\beta$ -cyanoethyl)-N'-thiocarbamoyl- $\gamma$ -aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoerithronolide A, novel semisynthetic macrolide antibiotics of the azalide series of the general formula 1,



1

wherein R represents H or cladinosyl moiety, R<sup>1</sup> represents H or  $\beta$ -cyanoethyl moiety, R<sup>2</sup> represents isopropyl, 1-naphtyl, 2-naphtyl, benzyl, 2-(trifluoromethyl)phenyl, 3-phenylpropyl,  $\beta$ -phenylethyl, ethoxycarbonylmethyl, 1-(1-naphtyl)ethyl, 3,4,5-trimethoxyphenyl and 2,4-dichlorophenyl group, and X represents O and S, and their acceptable addition salts thereof with inorganic or organic acids.

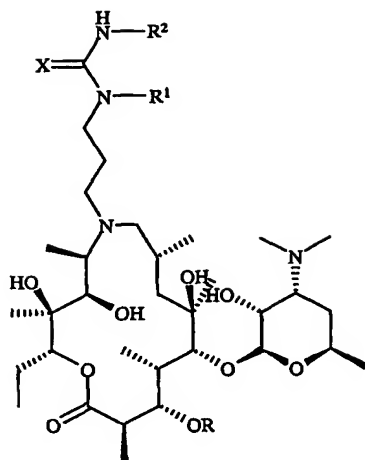
2. Substance according to claim 1, characterized in that R represents cladinosyl group and R<sup>1</sup> represents H, R<sup>2</sup> represents isopropyl group and X is O.
3. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 1-naphtyl group and X is O.

4. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 2-naphtyl group and X is O.
5. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents benzyl group and X is O.
6. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 2-(trifluoromethyl)phenyl group and X represents O.
7. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 3-phenylpropyl group and X is S.
8. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents  $\beta$ -phenylethyl group and X is S.
9. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents etoxycarbonylmethyl group and X is O.
10. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 1-(1-naphtyl)ethyl group and X is O.
11. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 3,4,5-trimethoxyphenyl group and X is O.
12. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 2,4-dichlorophenyl group and X is O.
13. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents benzyl group and X is S.
14. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents H and R<sup>2</sup> represents 1-naphtyl group and X is S.
15. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents isopropyl group and X is O.
16. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 1-naphtyl group and X is O.

17. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 2-naphtyl group and X is O.
18. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents benzyl group and X is O.
19. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 2-(trifluoromethyl)phenyl group and X is O.
20. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 3-phenylpropyl group and X is S.
21. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents  $\beta$ -phenylethyl group and X is S.
22. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents ethoxycarbonylmethyl group and X is O.
23. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 1-(1-naphtyl)ethyl group and X is O.
24. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 3,4,5-trimethoxyphenyl group and X is O.
25. Substance according to claim 1, characterized in that R represents cladinosyl group, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 2,4-dichlorophenyl group and X is O.
26. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents benzyl group and X is S.
27. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl group, R<sup>2</sup> represents 1-naphtyl group and X is S.

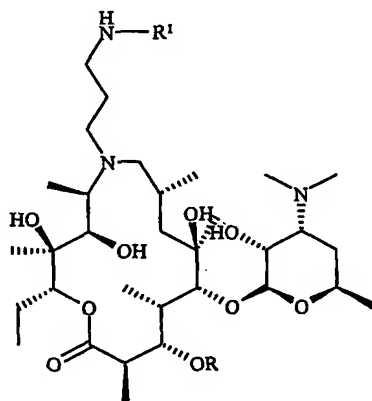
28. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents isopropyl group and X is O.
29. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 1-naphtyl group and X is O.
30. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 2-naphtyl group and X is O.
31. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents benzyl group and X is O.
32. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 2-(trifluoromethyl)phenyl group and X is O.
33. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 3-phenylpropyl group and X is S.
34. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents β-phenylethyl group and X is S.
35. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents ethoxycarbonylmethyl group and X is O.
36. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 1-(1-naphtyl)ethyl group and X is O.
37. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 3,4,5-trimethoxyphenyl group and X is O.
38. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 2,4-dichlorophenyl group and X is O.
39. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents benzyl group and X is S.
40. Substance according to claim 1, characterized in that R and R<sup>1</sup> represent H, R<sup>2</sup> represents 1-naphtyl group and X is S.
41. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents β-cyanoethyl, R<sup>2</sup> represents isopropyl group and X is O.
42. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents β-cyanoethyl, R<sup>2</sup> represents 1-naphtyl group and X is O.
43. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents β-cyanoethyl, R<sup>2</sup> represents 2-naphtyl group and X is O.

44. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents benzyl group and X is O.
45. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents 2-(trifluoromethyl)phenyl group and X is O.
46. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents 3-phenylpropyl group and X is S.
47. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents  $\beta$ -phenylethyl group and X is O.
48. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents ethoxycarbonylmethyl group and X is O.
49. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents 1-(1-naphtyl)ethyl group and X is O.
50. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents 3,4,5-trimethoxyphenyl group and X is O.
51. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents 2,4-dichlorophenyl group and X is O.
52. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents benzyl group and X is S.
53. Substance according to claim 1, characterized in that R represents H, R<sup>1</sup> represents  $\beta$ -cyanoethyl, R<sup>2</sup> represents 1-naphtyl group and X is S.
54. Process for the preparation of N''-substituted 9a-N-(N'-carbamoyl- $\gamma$ -aminopropyl), 9a-N-(N'-thiocarbamoyl- $\gamma$ -aminopropyl), 9a-N-[N'-( $\beta$ -cyanoethyl)-N'-carbamoyl- $\gamma$ -aminopropyl] and 9a-N-[N'-( $\beta$ -cyanoethyl)-N'-thiocarbamoyl- $\gamma$ -aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminy-9-deoxo-9-dihydro-9a-aza-9a-homoerithronolide A, of the general formula 1,



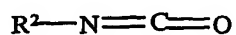
1

wherein R represents H or cladinosyl moiety,  $R^1$  represents H or  $\beta$ -cyanoethyl moiety,  $R^2$  represents isopropyl, 1-naphtyl, 2-naphtyl, benzyl, 2-(trifluoromethyl)phenyl, 3-phenylpropyl,  $\beta$ -phenylethyl, ethoxycarbonylmethyl, 1-(1-naphtyl)ethyl, 3,4,5-trimethoxyphenyl and 2,4-dichlorophenyl group, and X represents O and S, characterized in that 9a-N-( $\gamma$ -aminopropyl) and 9a-N-[N'-( $\beta$ -cyanoethyl)- $\gamma$ -aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminy-9-deoxo-9-dihydro-9a-aza-9a-homoerithronolide A general formula 2,



2

wherein R represents H and cladinosyl group and  $R^1$  represents H and  $\beta$ -cyanoethyl group is reacted with isocyanates or isothiocyanates general formula 3



3

wherein  $R^2$  represents isopropyl, 1-naphtyl, 2-naphtyl, benzyl, 2-(trifluoromethyl)phenyl, 3-phenylpropyl,  $\beta$ -phenylethyl, ethoxycarbonylmethyl, 1-(1-naphtyl)ethyl, 3,4,5-trimethoxyphenyl and 2,4-dichlorophenyl group, and X represents O and S, in toluene, xylene or some others aprotic solvents at a temperature 0°-110°C and then, if appropriate, to a reaction with inorganic or organic acids.

55. Pharmaceutical compositions comprising a pharmaceutically acceptable carrier and an antibacterially effective amount of the substances according to claim 1.
56. Use of a substance according to any claims 1 to 51 in the treatment of bacterial infections.